

(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
4 September 2003 (04.09.2003)

PCT

(10) International Publication Number
WO 03/072553 A1(51) International Patent Classification⁷: **C07D 263/20**, 413/10, 417/04, 413/04, 471/04, 417/10, 261/12, 307/33, 307/58, C07F 9/6558, A61K 31/421, 31/422, A61P 31/04 // (C07D 471/04, 221:00)5551 Lawton Avenue, Oakland, CA 94618 (US). **SINGH, Upinder** [IN/US]; 34229 Topelo Street, Fremont, CA 94555 (US).

(21) International Application Number: PCT/US03/03125

(74) Agent: **O'BRIEN, Jonathan**; Global Intellectual Property, Pharmacia & Upjohn Company, 301 Henrietta Street, Kalamazoo, MI 49001 (US).

(22) International Filing Date: 24 February 2003 (24.02.2003)

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(25) Filing Language: English

(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(26) Publication Language: English

Published:(30) Priority Data:
60/359,495 25 February 2002 (25.02.2002) US

— with international search report

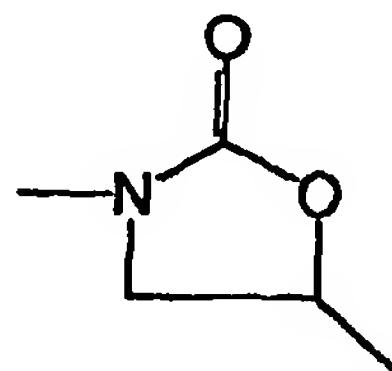
(71) Applicant (*for all designated States except US*): **PHARMACIA & UPJOHN COMPANY** [US/US]; 301 Henrietta Street, Kalamazoo, MI 49001 (US).

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

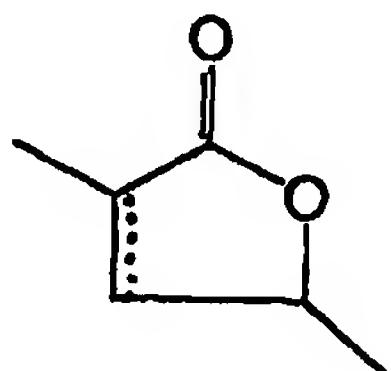
(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **THOMAS, Richard, C.** [US/US]; 2166 Wild Cherry Lane, Kalamazoo, MI 49009 (US). **POEL, Toni-Jo** [US/US]; 304 Anderson, Wayland, MI 49348 (US). **BARBACHYN, Michael, R.** [US/US]; 2900 Redbud Trail, Kalamazoo, MI 49009 (US). **GORDEEV, Mikhail, F.** [US/US]; 5072 Stone Canyon Drive, Castro Valley, CA 94552 (US). **LUEHR, Gary, W.** [US/US]; 28570 Fox Hollow Drive, Hayward, CA 94542 (US). **RENSLO, Adam** [US/US];

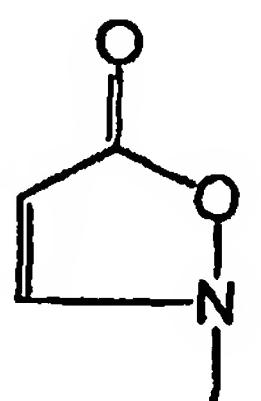
(54) Title: N-ARYL-2-OXAZOLIDINONE-5-CARBOXAMIDES AND THEIR DERIVATIVES AND THEIR USE AS ANTIBACTERIALS

WO 03/072553 A1

(i)



(ii)



(iii)

(57) Abstract: Compounds of formula B-C-A-CO-NH-R₁, wherein A is structure i, ii or iii; formulae (I), (II), (III). C is optionally substituted aryl or heteroaryl, and B is a specified cyclic moiety, or C and B together are a heterobicyclic moiety, are useful as antibacterial agents.